PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6: C07C 275/28, C07D 213/75, 257/04, 231/12, 401/12, A61K 31/17, 31/44, 31/41, C07D 213/40, 307/38, 277/28, 233/54, C07C 311/21, C07D 333/20

A1

(11) International Publication Number: WO 96/10559

(43) International Publication Date: 11 April 1996 (11.04.96)

(21) International Application Number: PCT/JP95/01982

(22) International Filing Date: 29 September 1995 (29.09.95)

(30) Priority Data:

9419970.0	4 October 1994 (04.10.94)	GB
9506720.3	31 March 1995 (31.03.95)	GB
9514021.6	10 July 1995 (10.07.95)	GB

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(81) Designated States: AU, CA, CN, HU, JP, KR, MX, RU, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

Published

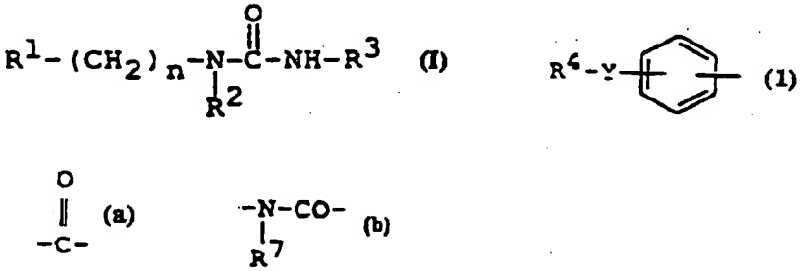
With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: UREA DERIVATIVES AND THEIR USE AS ACAT-INHIBITORS

(57) Abstract

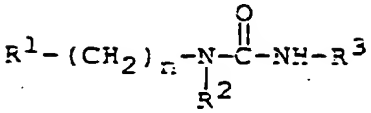
Urea derivatives of formula (I), wherein R^1 is a group of formula (1) (in which R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and Y is bond, lower alkylene, -S-, -O-, (a), -CH-, -CONH-, (b), (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s); R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl, R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable substituent(s), and n is 0 or 1, and a pharmaceutically acceptable salt thereof which are useful as a medicament in the treatment of hypercholesterolemia, hyperlipidemia and atherosclerosis.



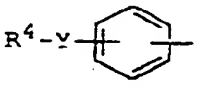
(I) (1) (a) (b)

C L A I M S

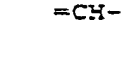
1. A compound of the formula :



wherein
R^1 is a group of the formula :



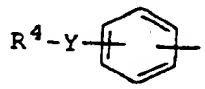
(in which
R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and

Y is bond, lower alkylene, -S-, -O-,  , =CH-, -CONH-,  (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or

thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s);
R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl,
R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable

substituent(s), and
n is 0 or 1,
and a pharmaceutically acceptable salt thereof.

2. A compound of claim 1, wherein
R^1 is a group of the formula :



(in which
R^4 is phenyl which may have 1 to 3 substituent(s) selected from the group consisting of halogen, lower alkyl, di(lower)alkylamino, protected amino, cyano, heterocyclic group which may have mono(or di or tri)-ar(lower)alkyl, hydroxy, protected hydroxy and mono(or di or tri)halo(lower)alkyl; or thienyl, pyrazolyl, imidazolyl, triazolyl, pyridyl, pyrrolyl, tetrazolyl, oxazolyl, thiazolyl, oxadiazolyl, piperazinyl, thiazolidinyl or methylenedioxyphenyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of lower alkyl, mono(or di or tri)ar(lower)alkyl and oxo;

Y is bond, lower alkylene, -S-, -O-, $-\overset{O}{\overset{\|}{C}}-$, =CH-, -CONH-, $-N(R^7)-CO-$ (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or
thiazolyl, imidazolyl, pyrazolyl, pyridyl,